

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 February 2005 (03.02.2005)

PCT

(10) International Publication Number
WO 2005/009977 A1

(51) International Patent Classification⁷: **C07D 239/42**,
239/48, 251/18, 403/04, A61K 31/505, A61P 25/00

(21) International Application Number:
PCT/US2004/022820

(22) International Filing Date: 15 July 2004 (15.07.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/487,405 15 July 2003 (15.07.2003) US

(71) Applicant (for all designated States except US): **NEURO-
GEN CORPORATION** [US/US]; 35 Northeast Industrial
Road, Branford, CT 06405 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BLUM, Charles**,
A. [US/US]; 785 W. Pond Meadow Rd., Westbrook, CT
06498 (US). **BRIELMANN, Harry** [US/US]; 14 Elm
Street, Guilford, CT 06437 (US). **HODGETTS, Kevin, J.**
[GB/US]; 224 Reservoir Road, Killingworth, CT 06419
(US).

(74) Agents: **ALEXANDER, John, B.** et al.; Edwards & An-
gell, LLP, P.O. Box 55874, Boston, MA 02205 (US).

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

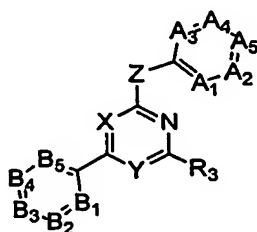
(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

Published:

- with international search report
- before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: SUBSTITUTED PYRIMIDIN-4-YLAMINA ANALOGUES AS VANILLOID RECEPTOR LIGANDS



(I)

(57) Abstract: Substituted pyrimidin-4-ylamine analogues are provided, of the Formula: (I) wherein variables are as described herein. Such compounds are ligands that may be used to modulate Vanilloid receptor activity *in vivo* or *in vitro*, and are particularly useful in the treatment of conditions associated with pathological receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compositions and methods for using such compounds to treat such disorders are provided, as are methods for using such ligands for receptor localization studies.

WO 2005/009977 A1